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HAIR COSMETIC

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[There are no amendments to this patent.]

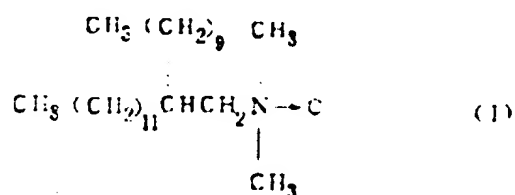
AbstractProblem

To provide hair cosmetics having excellent hair loss prevention, hair growth effect, scalp itching and dandruff prevention, and safety.

Means for solution

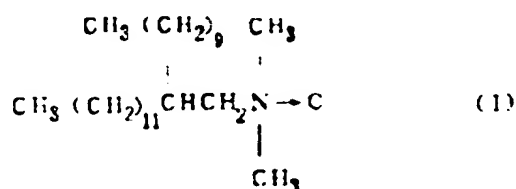
The above task can be solved by providing hair cosmetics containing essential oil components usable as refresheners such as menthols, camphors, etc., and dimethylamine oxide of the formula (I) below:

[Structure 1]

Claims

1. Hair cosmetic containing essential oil components usable as refresheners such as menthols, camphors, etc., and dimethylamine oxide of the formula (I) below:

[Structure 1]



2. Dimethylamine oxide according to Claim 1, wherein the essential oil component usable as refreshener is menthol and/or camphor compound.

Detailed explanation of the invention

[0001]

Technical field of the invention

The present invention concerns scalp hair cosmetics (hereafter referred to as hair cosmetics); more specifically it concerns highly effective hair cosmetics with excellent safety, and the hair cosmetics of the present invention can be particularly used in the field of drugs, nondrugs, or cosmetics.

[0002]

Prior art

There are many types of hair cosmetics for use for various scalp and hair states. For example, there are products developed to improve conditions of hair loss, etc., by preventing dandruff and itching of the scalp in certain cases. In today's society of the aged, various scalp problems increase with increasing societal stress, and the demand for hair cosmetics for scalp problems has been rising rapidly. In general, baldness, hair loss, hair thinning, scalp itching, dandruff, etc., are considered to be caused by activation of the male hormone in the organs of the hair root, sebaceous glands, etc., reduced blood flow to follicles, stress, etc. When sufficient nourishment is not supplied to follicles for strong hair growth, hair thinning and brittle hair result. Reduced blood flow to follicles may result in undernourishment and reduced function of waste discharge.

[0003]

Therefore, improving keratin turnover in scalp, excessive sebum secretion, etc., would at least improve reduced blood flow in the scalp and is essential in solving scalp and hair problems. In general, conventional hair cosmetics contain materials that are able to remove or lessen the factors considered to be the causes of baldness and hair loss. For example, vitamins such as vitamin B, vitamin E, etc., amino acids such as serine, methionine, etc., blood vessel dilators such as Japanese green gentian extract, acetylcholine derivatives, etc., anti-inflammatory agents such as shigon* extract, etc., female hormones such as estradiol, etc., skin function promoters such as cepharanthine, etc., are compounded and used for prevention and treatment of baldness, hair loss, and hair thinning.

[0004]

Problems to be solved by the invention

However, with compounding of such components in small amounts in the hair cosmetics, sufficient effects cannot be expected. On the other hand, if such components are compounded in large amounts, the area treated with such compounds and also the surrounding area tend to show strong irritation and redness. Thus, there are clear limits in using such components.

[0005]

Therefore, the problem to be solved by the present invention is to provide highly effective hair cosmetics with excellent safety.

* [Editor's note: An asterisk indicates a transliteration from Japanese.]

[0006]

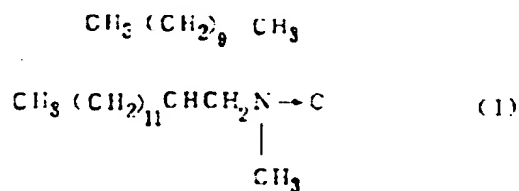
Means to solve the problems

As a result of an intense investigation for solving such problems, we have discovered that by compounding certain essential oil components and certain amine oxides, highly effective hair cosmetics having excellent hair loss prevention, hair growth effect, scalp itching and dandruff prevention, and safety can be made.

[0007]

Namely, the present invention concerns hair cosmetics containing essential oil components usable as refresheners such as menthols, camphors, etc., and dimethylamine oxide of the formula (I) below:

[Structure 2]



[0008]

The present invention is highly effective when the essential oil component usable as refreshener is menthol and/or a camphor compound.

[0009]

Embodiments of the invention

Next, embodiments of the present invention are explained. The hair cosmetics of the present invention can display the desired effects by compounding essential oil components usable as refresheners and the dimethylamine oxides (I) described above.

[0010]

The essential oil components that can be compounded in the hair cosmetics of the present invention can be chosen from those used in cosmetics as refresheners, without any special restrictions, e.g., menthols, camphors, mint oil, jojoba oil, etc.

[0011]

Even among such essential oil components, for effective display of the desired effects of the present invention, selecting menthols and/or camphors as the essential oil components is preferred.

[0012]

In the present invention, the menthols may be, e.g., l-menthol, dl-menthol, etc. They can be extracted from Western mints, etc. Of course they are available commercially.

[0013]

In the present invention, the camphors are, e.g., d-camphor, dl-camphor, etc. Such camphors can be extracted from camphor tree, marjoram, etc. Of course, they are available commercially. Such essential oil components may be used individually or as mixtures thereof for compounding in hair cosmetics of the present invention.

[0014]

The amount of the essential oil components in the hair cosmetics of the present invention should be above 0.001 wt% but below 5.0 wt%, preferably above 0.01 wt% but below 2.0 wt% in the total hair cosmetic.

[0015]

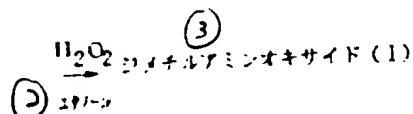
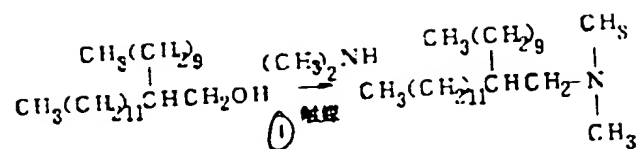
With the amount below 0.001 wt% in the total hair cosmetic, sufficient effects cannot be displayed, while above 5.0 wt%, problems tend to be encountered in the preparation, with safety problems such as skin irritation, etc.

[0016]

The above dimethylamine oxides (I) that are compounded together with the above essential oil components in the hair cosmetics of the present invention can be prepared by conventional methods for the manufacture of amine oxides. An example is shown below.

[0017]

[Structure 3]



Key: 1 Catalyst
 2 Ethanol
 3 Dimethylamine oxide

Usually, the dimethylamine oxides (I) thus obtained are compounded into the hair cosmetics of the present invention after being purified by conventional purification processes.

[0018]

In the total hair cosmetic of the present invention, the dimethylamine oxide (I) content should be above 0.0001 wt% but below 20.0 wt%, preferably above 0.05 wt% but below 5.0 wt%.

[0019]

With this content below 0.0001 wt%, a sufficient anti-inflammatory effect cannot be obtained, while above 20.0 wt%, problems tend to occur in the preparation, with safety problems such as skin irritation, etc.

[0020]

By compounding the above anti-inflammatory component and the above dimethylamine oxide (I) together, hair cosmetics of the present invention can be obtained with excellent hair loss prevention, hair growth effect, scalp itching and dandruff prevention, and safety. In the hair cosmetics of the present invention, medicinal components that are commonly compounded in hair cosmetics can be added for their effectiveness within the range causing no adverse effects on the desired effects.

[0021]

For example, amino acids such as serine, methionine, arginine, etc., vitamins such as vitamin B₆, biotin, etc., pantothenic acid and its derivatives, female hormones such as estradiol, etc., can be compounded into hair cosmetics of the present invention. Plant extracts commonly used in hair cosmetics can be compounded into the hair cosmetics of the present invention. Such extracts include, e.g., althea extract, yokuinin^{*} extract, youtei^{*} extract, *Capsicum annuum* extract, aloe extract, Chinese matrimony vine extract, mugwort extract, rice plant extract, mankeishi^{*} extract, rosemary extract, kotsusaiho^{*} extract, genista extract, gentian extract, tanjin^{*} extract, gourd extract, bellflower extract, pine extract, kujin^{*} extract, touki^{*} extract, safflower extract, barberry extract, betel palm tree extract, eucalyptus extract, kagosou^{*} extract, mokusou^{*} extract, goshitsu^{*} extract, saiko^{*} extract, *Thea sinensis* extract, kanjou^{*} extract, hop extract, chrysanthemum extract, senega extract, sesame extract, *Cnidium officinale* extract, cashew extract, kudzu root extract, maikaika^{*} extract, jiou^{*} extract, mallow extract, etc.

[0022]

Also, zinc or its derivatives, lactic acid or its alkyl esters, etc., organic acids such as citric acid, etc., protease inhibitors such as tranexamic acid, etc., oils such as olive oil, squalane, liquid paraffin, isopropyl myristate, fatty acids, high alcohols, etc., polyols such as glycerin, propylene glycol, etc., other surfactants, humectants, thickeners, perfumes, antioxidants, UV absorbers, antiseptics, colors, ethanol, water, etc., can be added within the range of no adverse effects on the desired effects of the present invention.

[0023]

The hair cosmetics of the present invention may be in the form of a liquid, emulsion, ointment, etc., suitable for application on skin, and if needed, an appropriate base material may be used to prepare a desired form of hair cosmetic of the present invention. The hair cosmetics of the present invention can be used in the fields of drugs, nondrugs, cosmetics, etc.

[0024]

The hair cosmetics of the present invention can be used for prevention and treatment of hair loss, scalp itching and dandruff, thus they can be used for prevention and treatment of male hair loss and also for female hair loss and pattern baldness. Such are illustrative only, and they do not restrict application possibilities of the hair cosmetics of the present invention.

[0025]

The hair cosmetics of the present invention can be applied topically by direct coating or spraying on the skin (in the hair cosmetics of the present invention, combination of all the above essential components promotes transdermal absorption of the components). The dosage of the hair cosmetics of the present invention may vary among individuals in terms of age, degree of hair loss, etc., and form of the preparations, while in the case of adults, the dosage is usually 0.001-100, preferably 0.1-10 mg/day/kg of body weight, with application 2-4 times a day.

[0026]

Application examples

Next, the present invention is explained in further detail with application examples. However, the technological range of the present invention is not limited by the application examples. First, before disclosure of the application examples, experiments for investigating hair growth effects in the application examples are explained.

[0027]

1. Hair growth experiment

For investigating the hair growth effects of the hair cosmetics of the present invention, tricogram tests were carried out. Subjects used were groups of 10 men in the application examples and comparative examples. Over 4 months, samples were coated on the scalp in an amount of 2-4 mL per application, two times a day. Immediately before application and 3 months after application, 50 hairs were removed randomly from each individual subject, and the hair root was observed under a microscope to determine the root resting period (%) from the state of hair root. The resting period before and after sample application was evaluated according to the following standards.

[0028]

Evaluation standards

Significant effect: Hair root resting period ratio decreased by 30% or more

Effective: Hair root resting period ratio decreased by 20% or more

Weak effect: Hair root resting period ratio decreased by 10% or more

No effect: Hair root resting period ratio decreased by less than 10%

For hair growth effect evaluation, it was seen as effective when subjects judged effective or higher exceeds 50%, and others are seen as no effect.

[0029]

2. Hair loss prevention effect test

Hair loss effect was evaluated by changes in the number of hairs lost before and after sample application. Subjects were groups of 10 males. The test period was 6 months with the first two months with no sample application and the remaining 4 months with sample application. During the period of sample application, samples were coated on the scalp in an amount of 2-4 mL per application, twice a day. During the test period, the hair was washed daily upon rising, and the fallen hairs were collected and counted on a weekly basis.

[0030]

The presentation of the number of hairs fallen includes the number of fallen hairs for a total of 8 times during the 2 months of no sample application and the number of fallen hairs for a total of 8 times during the last two months of the period of sample application, in the form of the number of fallen hairs as average value \pm standard deviation each time.

[0031]

Effects are evaluated from the differences in average values in each period by the following standards.

Evaluation standards

---: decrease of the number of fallen hairs by more than 70, showing a significant effect

+: decrease of the number of fallen hairs by more than 40, showing a good effect

\pm : decrease of the number of fallen hairs by more than 10, showing some effect

-: decrease of the number of fallen hairs by less than 10, showing no effect

For hair loss prevention effect evaluation, it is said to be effective when subjects designated + or higher exceeds 50%, and others are said to be no effect.

[0032]

3. Dandruff and itching prevention test

For itching and dandruff prevention effects, upon completion of the test period, each subject was examined for scalp itching and dandruff to compare the degree of itching and dandruff. Subjects were made of groups of 10 males especially complaining of itching and dandruff. The sample application period was 3 months. During this period, the hair was washed once a day with identical shampoos free from medicine and the sample was applied to the scalp in an amount of 2-4 mL per application, twice a day. After the test period, the level of scalp itching and dandruff was evaluated for each subject with the scores given below.

[0033]

Dandruff score

3: Very very much

2: Very much

1: Much

0: None at all

[0034]

Itching score

3: Very itchy

2: Itchy

1: Slightly itchy

0: Not itchy

[0035]

Application Examples 1-6, Comparative Examples 1-5

Lotions were prepared by the method described later from the components shown in Table 1 (Application Examples 1-6, Comparative Examples 1-5) and subjected to the following tests.

[0036]

Table 1

① 配合成分	② 比較例					③ 実施例					
	1	2	3	4	5	1	2	3	4	5	6
ジメチルアミノキシド (1) ④	1.0	—	—	—	—	1.0	1.0	1.0	2.0	3.0	3.0
l-メントール ⑤	—	1.0	—	—	—	1.0	—	—	5.0	—	—
dl-メントール ⑥	—	—	1.0	—	—	—	0.5	—	—	—	0.5
l-カンフル ⑦	—	—	—	1.0	—	—	—	0.1	—	—	0.5
dl-カンフル ⑧	—	—	—	—	1.0	—	—	—	—	1.0	—
プロピレングリコール ⑨	2.0	2.0	2.0	2.0	2.0	2.0	2.0	2.0	2.0	2.0	2.0
硬化ヒマシ油エチレンオキシド (40 モル) 付加物 ⑩	0.5	0.5	0.5	0.5	0.5	0.5	0.5	0.5	0.5	0.5	0.5
乳酸 ⑪	適量	適量	適量	適量	適量	適量	適量	適量	適量	適量	適量
乳酸ナトリウム ⑫	適量	適量	適量	適量	適量	適量	適量	適量	適量	適量	適量
香料及び色素 ⑬	適量	適量	適量	適量	適量	適量	適量	適量	適量	適量	適量
95%エタノール ⑭	60.0	60.0	60.0	60.0	60.0	60.0	60.0	60.0	60.0	60.0	60.0
精製水 ⑮	残部	残部	残部	残部	残部	残部	残部	残部	残部	残部	残部

- Key:
- 1 Compounding components
 - 2 Comparative example
 - 3 Application example
 - 4 Dimethylamine oxide (1)
 - 5 l-menthol
 - 6 dl-Menthol
 - 7 d-Camphor
 - 8 dl-Camphor
 - 9 Propylene glycol
 - 10 Hardened castor oil ethylene oxide adduct (40 mol)
 - 11 Lactic acid
 - 12 Sodium lactate
 - 13 Perfume and color
 - 14 95% ethanol
 - 15 Purified water
 - 16 As desired
 - 17 Balance

[0037]

Preparation

Essential oil components, propylene glycol, hardened castor oil ethylene oxide adduct (40 mol), lactic acid, sodium lactate, and perfume were dissolved in 95% ethanol (ethanol phase). Next, color was dissolved in purified water, added to the above ethanol phase and stirred to obtain a clear liquid lotion.

[0038]

The results of the above tests are shown in Table 2 (hair growth effect test), Table 3 (hair loss prevention test) and Table 4 (itch and dandruff prevention test).

Table 2

群 ①	② 休止期毛根の減少率 (%)				⑦ 発毛効果の評価
	③ 顕著な効果	④ 効果あり	⑤ 弱い効果	⑥ 効果なし	
⑧ 比較例 1	10	20	10	60	無効
	0	10	20	70	無効
	0	10	10	80	無効 ⑩
	0	0	30	70	無効
	0	0	20	80	無効
⑨ 実用例 1	30	40	20	10	有効
	20	40	20	20	有効
	30	60	0	10	有効 ⑪
	40	30	20	10	有効
	30	40	30	0	有効
	40	30	10	20	有効

- Key:
- 1 Group
 - 2 Subjects with reduced hair roots in resting period
 - 3 Significant effect
 - 4 Effective
 - 5 Weak effect
 - 6 No effect
 - 7 Hair growth effect evaluation
 - 8 Comparative Example
 - 9 Application Example
 - 10 No effect
 - 11 Effective

[0039]

Table 3

群 ①	② 抜毛本数の減少率 (%)				③ 脱毛防止効果の 評価
	++	+	±	-	
比較例 1	20	20	10	50	無効
比較例 2	0	0	20	80	無効
比較例 3	0	10	20	70	無効
比較例 4	0	0	30	70	無効
比較例 5	0	10	10	80	無効
実施例 1	30	50	20	0	有効
実施例 2	30	40	10	20	有効
実施例 3	30	50	20	0	有効
実施例 4	30	40	20	10	有効
実施例 5	20	50	20	10	有効
実施例 6	40	40	20	0	有効

- Key: 1 Group
 2 Subjects with reduced number of fallen hairs
 3 Hair loss prevention effect evaluation
 4 Comparative Example
 5 Application Example
 6 No effect
 7 Effective

[0040]

Table 4

群 ①	② ふけ (平均スコア)	③ かゆみ (平均スコア)
比較例 1	1.4	1.6
比較例 2	2.2	1.6
比較例 3	2.3	1.8
比較例 4	1.8	1.6
比較例 5	2.0	1.6
実施例 1	0.5	0.7
実施例 2	0.6	0.6
実施例 3	0.5	0.7
実施例 4	0.4	0.6
実施例 5	0.7	0.6
実施例 6	0.6	0.3

Key:	1	Group
	2	Dandruff (average score)
	3	Itch (average score)
	4	Comparative Example
	5	Application Example

[0041]

From the above results, it can be realized that the lotions of the present invention with compounding of any of the above camphors and menthols and dimethylamine oxide (I) are highly effective for hair growth, hair loss prevention and itch and dandruff prevention, while the hair tonic lotions of the comparative examples compounded with only one of either the anti-inflammatory component or dimethylamine oxide (I) were significantly inferior to the present invention even at corresponding amounts of such components.

[0042]

Namely, in the hair cosmetics of the present invention with compounding of the above camphors and menthols and dimethylamine oxide (I), synergetic hair growth effect, hair loss prevention effect and itch and dandruff prevention effect are apparent. This means that the desired effects of the hair cosmetics of the present invention can be obtained with such components added in small amounts, and the unpleasant irritation and redness caused when the camphors and menthols are added in large amounts can be prevented.

[0043]

Next, recipe examples of hair cosmetics of the present invention are shown below.

Application Example 7

Lotion

(Compounding components)	Compounding amount (wt%)
95% ethanol	50.0
Dimethylamine oxide (I)	2.0
l-Menthol	0.5
dl-Camphor	0.5
Sodium pyrrolidonecarboxylate	5.0
Hardened castor oil ethylene oxide (40 mol) adduct	0.5
Succinic acid	as needed
Perfume and color	as needed
Purified water	balance

[0044]

Preparation

Dimethylamine oxide (I), hardened castor oil ethylene oxide (40 mol) adduct, l-menthol, dl-camphor and perfume were dissolved in 95% ethanol, treated with the other components dissolved in purified water and stirred to obtain a clear liquid lotion. This hair cosmetic of the present invention was subjected to the above tests, showing significant hair growth effect, hair loss prevention effect and dandruff and itch prevention effect.

[0045]

Application Example 8**Lotion**

(Compounding components)	Compounding amount (wt%)
95% ethanol	90.0
Dimethylamine oxide (I)	5.0
dl-Menthol	2.0
1,3-Butylene glycol	1.0
Hardened castor oil ethylene oxide (50 mol) adduct	0.5
Sodium lauryl sulfate	0.3
Lactic acid	as needed
Sodium lactate	as needed
Perfume and color	as needed
Purified water	balance

[0046]

Preparation

Hardened castor oil ethylene oxide (50 mol) adduct and perfume were dissolved in 95% ethanol, treated with purified water, then with the other components and stirred for dissolution to obtain a clear liquid lotion. This hair cosmetic of the present invention was subjected to the above tests, showing significant hair growth effect, hair loss prevention effect and dandruff and itch prevention effect.

[0047]

Application Example 9

Emulsion hair cosmetic

(Compounding components)	Compounding amount (wt%)
(Phase A)	
Hardened castor oil ethylene oxide (60 mol) adduct	2.0
Glycerin	5.0
Dipropylene glycol	15.0
1,3-Butylene glycol	3.0
Polyethylene glycol	2.0
(Phase B)	
Cetyl isooctanate	10.0
Squalane	10.0
Petrolatum	2.0
Ethylparaben	2.0
Dimethylamine oxide (I)	2.0
l-Menthol	0.5
(Phase C)	
Carboxyvinyl polymer 1% aqueous solution	30.0
Sodium hexametaphosphate	0.03
Purified water	9.35
(Phase D)	
Purified water	4.0
(Phase E)	
Potassium hydroxide	0.12
Purified water	3.0

[0048]

Preparation

Phase A and Phase B were separately heated at 60°C, mixed together, and processed in a homomixer to obtain a gel. This gel was slowly added to Phase D and dispersed in a homomixer. The dispersion was added to the dissolved Phase C, mixed with dissolved Phase E and emulsified in a homomixer to obtain an O/W emulsion hair cosmetic. This hair cosmetic of the present invention was subjected to the above tests, showing significant hair growth effect, hair loss prevention effect and dandruff and itch prevention effect.

Effect of the invention

According to the present invention, hair cosmetics having excellent hair loss prevention, hair growth effect, scalp itching and dandruff prevention, and safety are provided.

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